10669051

=> d his

(FILE 'HOME' ENTERED AT 15:29:15 ON 14 APR 2004)

FILE 'REGISTRY' ENTERED AT 15:29:22 ON 14 APR 2004
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 15 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:32:38 ON 14 APR 2004
L4 3 S L3

FILE 'REGISTRY' ENTERED AT 15:38:48 ON 14 APR 2004
L5 STRUCTURE UPLOADED
L6 4 S L5
L7 66 S L5 SSS FULL
L8 51 S L7 NOT L3

FILE 'CAPLUS' ENTERED AT 15:40:29 ON 14 APR 2004
L9 4 S L8

=> d l1
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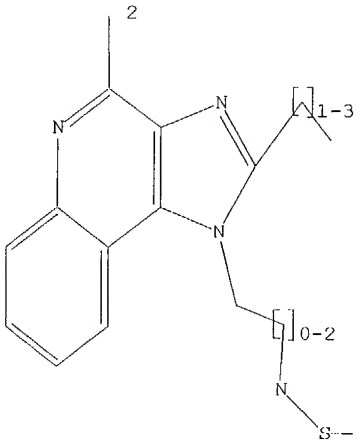
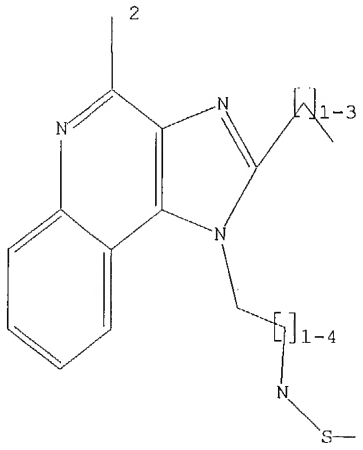
L1 STR



G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 C,O

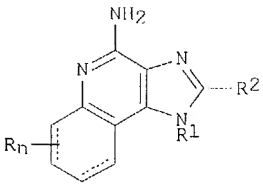
Structure attributes must be viewed using STN Express query preparation.

=> d 1-4 bib abs hitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:33981 CAPLUS
DN 140:94043
TI Preparation of imidazoquinolinesulfonamides as inducers of cytokine biosynthesis.
IN Griesgraber, George W.
PA 3M Innovative Properties Company, USA
SO U.S., 86 pp., Cont. of U.S. Ser. No. 27,273, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6677349	B1	20040113	US 2003-425054	20030428
PRAI US 2001-27273	B1	20011221		

OS MARPAT 140:94043
GI



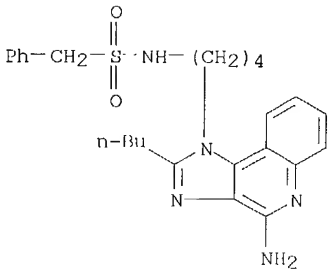
I

AB Title compds. [I; R1 = alkyl-NR3SO2XR4, alkenyl-NR3SO2XR4; X = bond, R5; R4 = (substituted) aryl, heteroaryl, heterocyclyl, alkyl, alkenyl; R2 = H, (substituted) alkyl, alkenyl, aryl, heteroaryl, alkyl-O-alkyl, alkyl-O-alkenyl; R3 = H, alkyl; R5 = H, alkyl; R4R5 = atoms to form a 3-7 membered (substituted) heterocyclyl; n = 0-4; R = alkyl, alkoxy, halo, CF3], were prepared Thus, a stirred solution of 4-chloro-3-nitroquinoline in CH2Cl2 was treated with Et3N and 1,2-diamino-2-methylpropane to give 2-methyl-N1-(3-nitroquinolin-4-yl)propane-1,2-diamine. A solution of the latter in THF was cooled to 0° and treated with a 1 N NaOH solution of di-tert-Bu dicarbonate under rapid stirring followed by warming to ambient temperature and stirring overnight; addnl. di-tert-Bu dicarbonate was added and stirring was continued for 3 d. to give tert-Bu 1,1-dimethyl-2-[(3-nitroquinolin-4-yl)amino]ethylcarbamate. This in PhMe was treated with Pt/C and shaken under H2 for 6 h to give tert-Bu 2-(3-aminoquinolin-4-yl)-

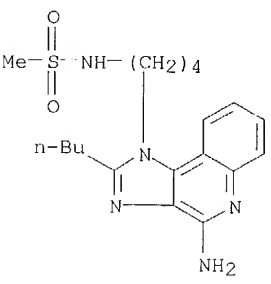
1,1-dimethylethylcarbamate. The aminoquinoline in CH2Cl2 was cooled to 0° and treated with Et3N and ethoxyacetyl chloride to give a syrup which was refluxed overnight with Et3N in EtOH to give tert-Bu 2-[2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate. The imidazoquinoline in CH2Cl2 was treated with 3-chloroperoxybenzoic acid and stirred 2 h to give tert-Bu 2-[2-(ethoxymethyl)-5-oxido-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate. The latter in 1,2-dichloroethane was heated to 70° and treated with concentrated NH4OH; p-toluenesulfonyl chloride was added and the reaction mixture was heated in a sealed tube for 2 h to give tert-Bu 2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate . This was refluxed in EtOH containing HCl for 2 h to give 1-(2-amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4-amine. 1-(2-Amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4-amine in CH2Cl2 at 0° was treated with Et3N and MeSO2Cl and the reaction was allowed to warm to ambient temperature overnight to give N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]methanesulfonamide (claimed compound). I induced interferon in an in vitro human blood cell system at lowest effective concns. of 0.0001-10 μM.

IT **313355-89-4P 313355-91-8P 313356-40-0P**
313356-44-4P 313356-63-7P 313356-65-9P
313356-67-1P 313356-71-7P 313357-11-8P
313357-13-0P 313357-15-2P 313357-29-8P
313357-43-6P 313357-84-5P 313357-85-6P
313357-90-3P 313357-95-8P 313357-97-0P
313357-99-2P 313358-02-0P 313358-51-9P
313359-06-7P 313359-52-3P 532959-63-0P
642473-21-0P 642473-39-0P 642473-44-7P
642473-49-2P 642473-59-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoquinolinesulfonamides as inducers of cytokine biosynthesis)

RN 313355-89-4 CAPLUS
CN Benzenemethanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 313355-91-8 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)

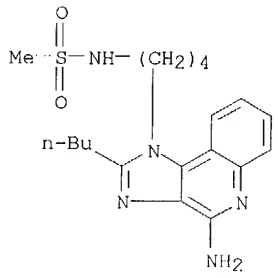


RN 313356-40-0 CAPLUS

CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

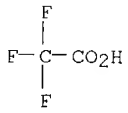
CM 1

CRN 313355-91-8
CMF C19 H27 N5 O2 S



CM 2

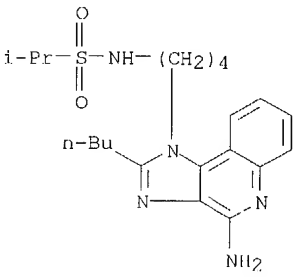
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-44-4 CAPLUS
CN 2-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

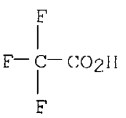
CM 1

CRN 313356-43-3
CMF C21 H31 N5 O2 S



CM 2

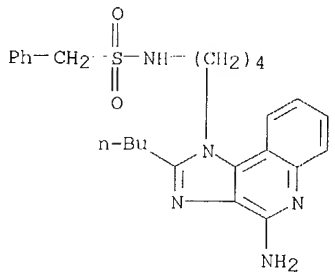
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-63-7 CAPLUS
CN Benzenemethanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

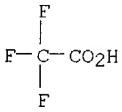
CM 1

CRN 313355-89-4
CMF C25 H31 N5 O2 S



CM 2

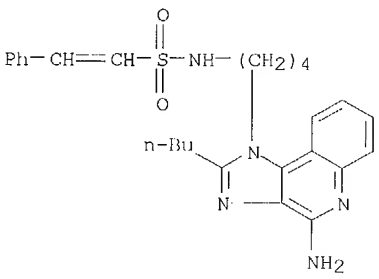
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-65-9 CAPLUS
CN Ethenesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

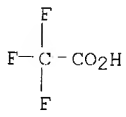
CM 1

CRN 313356-64-8
CMF C26 H31 N5 O2 S



CM 2

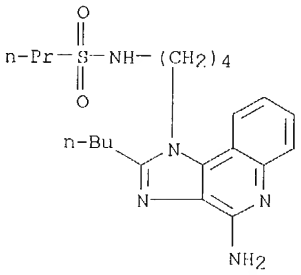
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-67-1 CAPLUS
CN 1-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

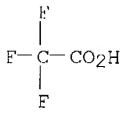
CM 1

CRN 313356-66-0
CMF C21 H31 N5 O2 S



CM 2

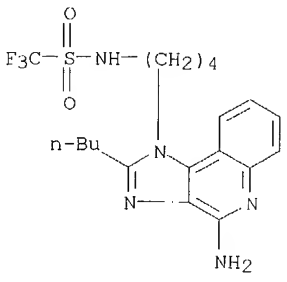
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-71-7 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-1,1,1-trifluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

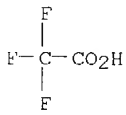
CM 1

CRN 313356-70-6
CMF C19 H24 F3 N5 O2 S



CM 2

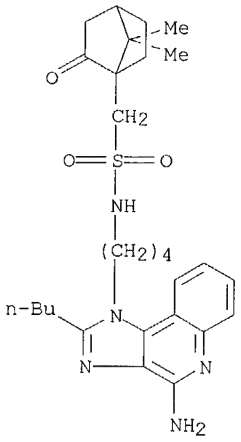
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-11-8 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-7,7-dimethyl-2-oxo-, (1S,4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

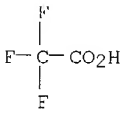
CM 1

CRN 313357-10-7
CMF C28 H39 N5 O3 S



CM 2

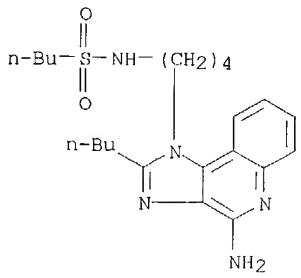
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-13-0 CAPLUS
CN 1-Butanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

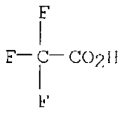
CM 1

CRN 313357-12-9
CMF C22 H33 N5 O2 S



CM 2

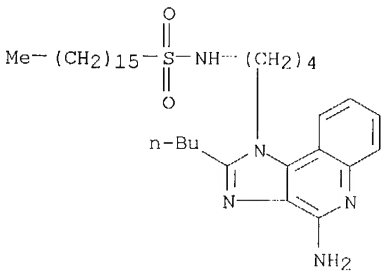
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-15-2 CAPLUS
CN 1-Hexadecanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

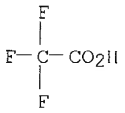
CM 1

CRN 313357-14-1
CMF C34 H57 N5 O2 S



CM 2

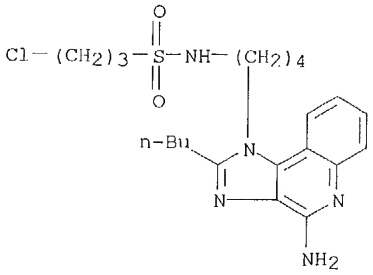
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-29-8 CAPLUS
CN 1-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-3-chloro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

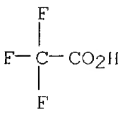
CM 1

CRN 313357-28-7
CMF C21 H30 Cl N5 O2 S



CM 2

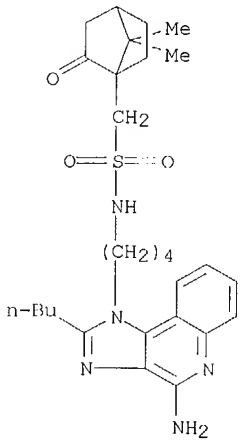
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-43-6 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-7,7-dimethyl-2-oxo-, (1R,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

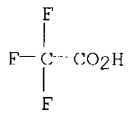
CM 1

CRN 313357-42-5
CMF C28 H39 N5 O3 S

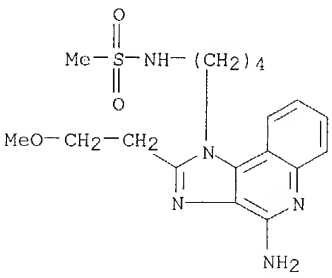


CM 2

CRN 76-05-1
CMF C2 H F3 O2



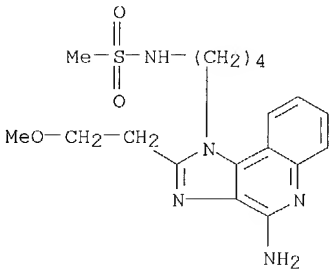
RN 313357-84-5 CAPLUS
CN Methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (9CI) (CA INDEX NAME)



RN 313357-85-6 CAPLUS
CN Methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

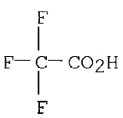
CM 1

CRN 313357-84-5
CMF C18 H25 N5 O3 S



CM 2

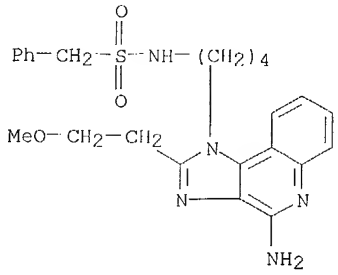
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-90-3 CAPLUS
CN Benzenemethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

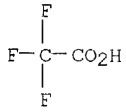
CM 1

CRN 313357-89-0
CMF C24 H29 N5 O3 S



CM 2

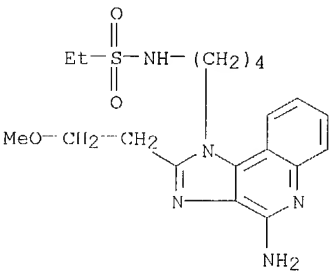
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-95-8 CAPLUS
CN Ethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

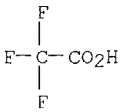
CM 1

CRN 313357-94-7
CMF C19 H27 N5 O3 S



CM 2

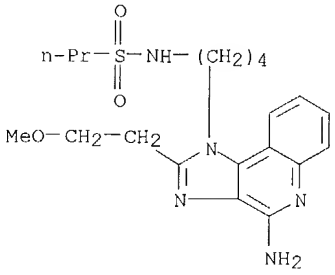
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-97-0 CAPLUS
CN 1-Propanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

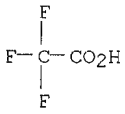
CM 1

CRN 313357-96-9
CMF C20 H29 N5 O3 S



CM 2

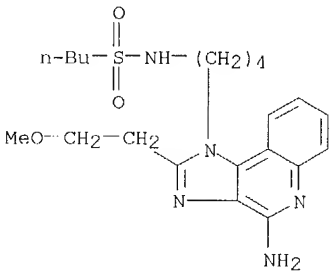
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-99-2 CAPLUS
CN 1-Butanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

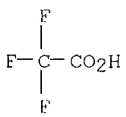
CM 1

CRN 313357-98-1
CMF C21 H31 N5 O3 S



CM 2

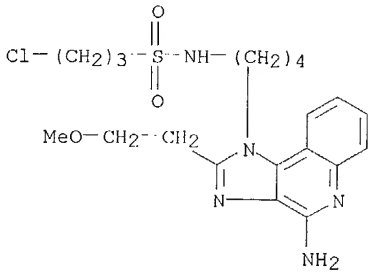
CRN 76-05-1
CMF C2 H F3 O2



RN 313358-02-0 CAPLUS
CN 1-Propanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-3-chloro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

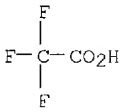
CM 1

CRN 313358-01-9
CMF C20 H28 Cl N5 O3 S



CM 2

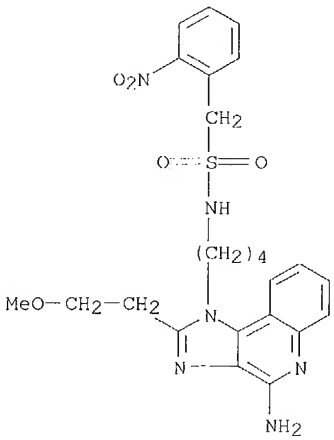
CRN 76-05-1
CMF C2 H F3 O2



RN 313358-51-9 CAPLUS
CN Benzenemethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-2-nitro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

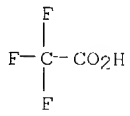
CM 1

CRN 313358-50-8
CMF C24 H28 N6 O5 S



CM 2

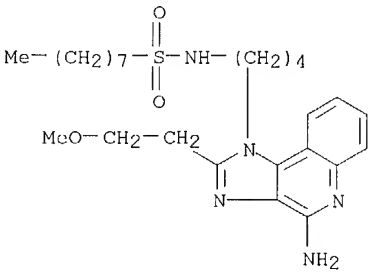
CRN 76-05-1
CMF C2 H F3 O2



RN 313359-06-7 CAPLUS
CN 1-Octanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

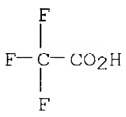
CM 1

CRN 313359-05-6
CMF C25 H39 N5 O3 S



CM 2

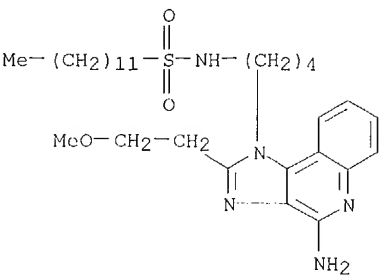
CRN 76-05-1
CMF C2 H F3 O2



RN 313359-52-3 CAPLUS
CN 1-Dodecanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

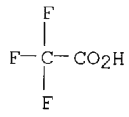
CM 1

CRN 313359-51-2
CMF C29 H47 N5 O3 S

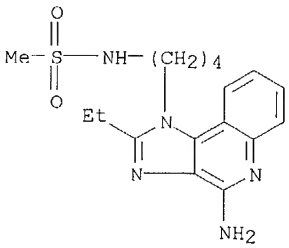


CM 2

CRN 76-05-1
CMF C2 H F3 O2



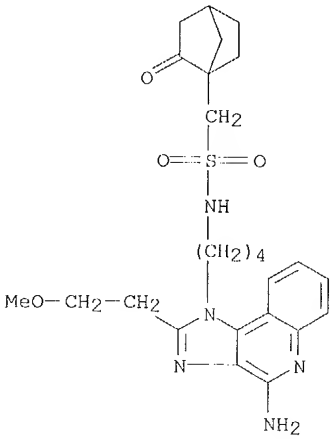
RN 532959-63-0 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 642473-21-0 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-2-oxo-, (1R,4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

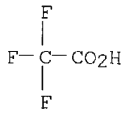
CM 1

CRN 642473-20-9
CMF C25 H33 N5 O4 S

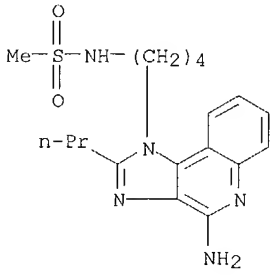


CM 2

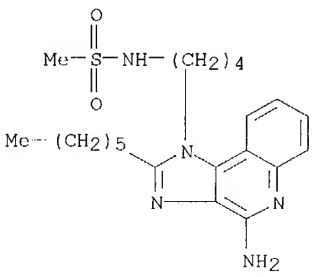
CRN 76-05-1
CMF C2 H F3 O2



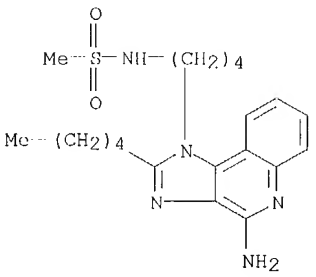
RN 642473-39-0 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-propyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



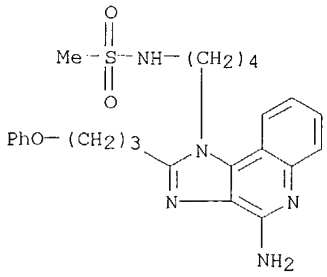
RN 642473-44-7 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-hexyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 642473-49-2 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-pentyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 642473-59-4 CAPLUS
CN Methanesulfonamide, N-[4-[4-amino-2-(3-phenoxypropyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (9CI) (CA INDEX NAME)



RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417574 CAPLUS
DN 139:929
TI Toll-like receptor (TLR) pathway-based methods for identification of immune response modifier (IRM) compounds, and methods of use of such compounds
IN Gorden, Keith B.; Qiu, Xiaohong; Tomai, Mark A.; Vasilakos, John P.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003043572	A2	20030530	WO 2002-US36758	20021114
WO 2003043572	A3	20030724		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

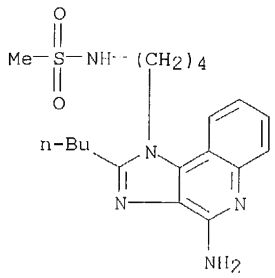
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004014779	A1	20040122	US 2002-294935	20021114
PRAI US 2001-332412P	P	20011116		

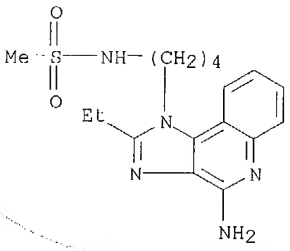
AB Methods for identifying a compound that activates a TLR-mediated cellular signaling pathway is disclosed. The method includes (a) exposing a TLR-pos. cell culture to a test compound and measuring a TLR-mediated cellular response; (b) exposing a TLR-neg. cell culture to a test compound and measuring a TLR-mediated cellular response; and (c) identifying the test compound as an IRM if the cellular response in the TLR-pos. cell culture is greater than the cellular response of the TLR-neg. cell culture. Methods of eliciting a TLR-mediated cellular response are also disclosed. Such methods include administration of an IRM compound to an IRM-responsive cell so that the IRM compds. affects at least one TLR-mediate cellular signaling pathway.

IT **313355-91-8 532959-63-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(toll-like receptor pathway-based methods for identification of immune response modifier compds., and methods of use of such compds.)

RN 313355-91-8 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 532959-63-0 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:449990 CAPLUS
DN 137:28292
TI Screening method for identifying compounds that selectively induce interferon alpha
IN Tomai, Mark A.; Vasilakos, John P.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046749	A2	20020613	WO 2001-US46698	20011206
	WO 2002046749	A3	20030828		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002032498	A5	20020618	AU 2002-32498	20011206
	US 2002110840	A1	20020815	US 2001-13193	20011206
	EP 1360486	A2	20031112	EP 2001-992019	20011206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-254229P	P	20001208		
	WO 2001-US46698	W	20011206		

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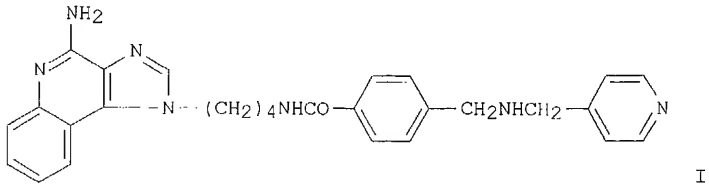


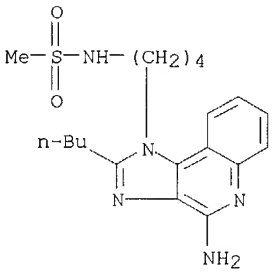
I

AB Methods for screening for compds. that selectively induce IFN-α production and methods for ameliorating conditions in a patient using a small mol. that selectively induces the production of IFN-α are disclosed. Cytokine expression was determined in various cell types (PBMC, CD14+ cells, pDC2-enriched cells, and DC11c+ blood DC) stimulated with nonselective compound resiquimod or with selective compound I.

IT **313355-91-8**
RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening method for identifying compds. that selectively induce interferon alpha)

RN 313355-91-8 CAPLUS

CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:900462 CAPLUS

DN 134:56667

TI Preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers

IN Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076519	A1	20001221	WO 2000-US15722	20000608
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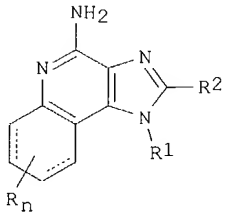
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6331539	B1	20011218	US 2000-589216	20000607
	BR 2000011433	A	20020305	BR 2000-11433	20000608
	EP 1198233	A1	20020424	EP 2000-938211	20000608
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003501474	T2	20030114	JP 2001-502852	20000608

	EE 200100669	A	20030217	EE 2001-669	20000608
	NZ 515967	A	20031031	NZ 2000-515967	20000608
	US 2003130299	A1	20030710	US 2001-166321	20010615
	NO 2001005502	A	20020207	NO 2001-5502	20011109
	ZA 2001009854	A	20030228	ZA 2001-9854	20011129
	ZA 2001009857	A	20030228	ZA 2001-9857	20011129
	ZA 2001009861	A	20030228	ZA 2001-9861	20011129
	HR 2001000890	A1	20030831	HR 2001-890	20011129
	US 2004029877	A1	20040212	US 2001-27272	20011221
PRAI	US 1999-138365P	P	19990610		
	US 2000-589216	A	20000607		
	WO 2000-US15722	W	20000608		
	US 2001-166321	A1	20010615		

OS MARPAT 134:56667

GI



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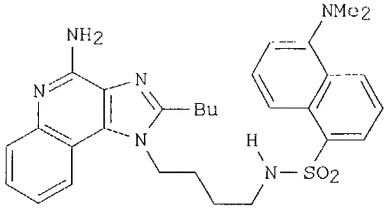


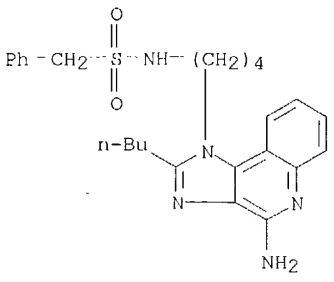
II

AB The title compds. [I; R1 = alkylNR3SO2XR4, alkenylNR3SO2XR4 (wherein X = a bond, NR5; R3 = H, alkyl; R4 = (un)substituted aryl, heteroaryl, alkyl, etc.; R5 = H, alkyl; R4 and R5 can combine to form 3-7 membered (un)substituted heterocyclic ring); R2 = H, alkyl, aryl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4], useful as immune response modifiers, were prepared Thus, reacting 5-dimethylamino-1-naphthalenesulfonyl chloride with 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine in the presence of N,N-diisopropylethylamine in CH2Cl2 afforded the naphthalenesulfonamide II which induced interferon α and TNFα biosynthesis in human cells at 0.12 μM and 3.33 μM, resp. The compds. I can induce the biosynthesis of various cytokines such as interferon α and TNFα (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

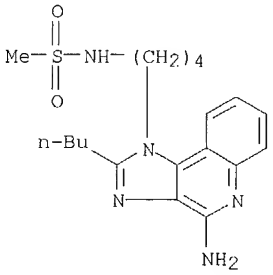
IT **313355-89-4P 313355-91-8P 313356-40-0P**
313356-44-4P 313356-63-7P 313356-65-9P
313356-67-1P 313356-71-7P 313357-11-8P
313357-13-0P 313357-15-2P 313357-29-8P
313357-43-6P 313357-84-5P 313357-85-6P
313357-89-0P 313357-90-3P 313357-95-8P
313357-97-0P 313357-99-2P 313358-02-0P
313358-51-9P 313358-74-6P 313359-06-7P
313359-52-3P 313359-76-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers)

RN 313355-89-4 CAPLUS

CN Benzenemethanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



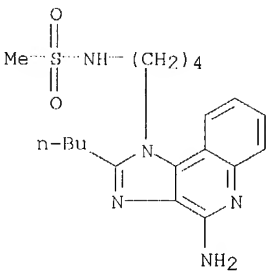
RN 313355-91-8 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]- (9CI) (CA INDEX NAME)



RN 313356-40-0 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

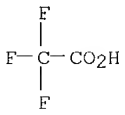
CM 1

CRN 313355-91-8
CMF C19 H27 N5 O2 S



CM 2

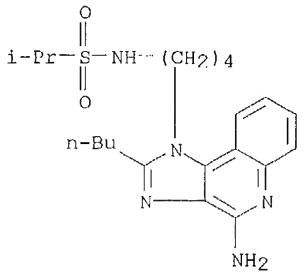
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-44-4 CAPLUS
CN 2-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

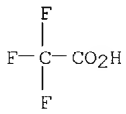
CM 1

CRN 313356-43-3
CMF C21 H31 N5 O2 S



CM 2

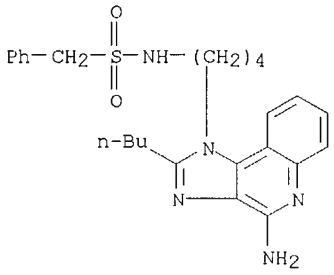
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-63-7 CAPLUS
CN Benzenemethanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

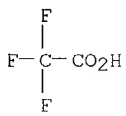
CM 1

CRN 313355-89-4
CMF C25 H31 N5 O2 S



CM 2

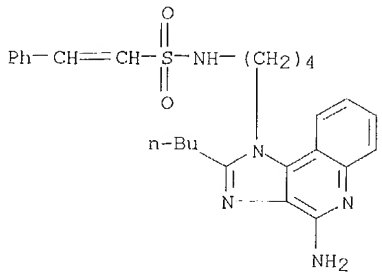
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-65-9 CAPLUS
CN Ethenesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

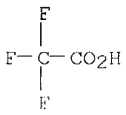
CM 1

CRN 313356-64-8
CMF C26 H31 N5 O2 S



CM 2

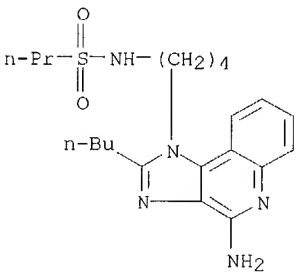
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-67-1 CAPLUS
CN 1-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

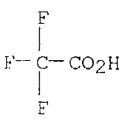
CM 1

CRN 313356-66-0
CMF C21 H31 N5 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

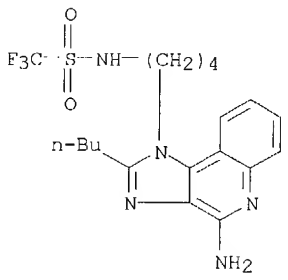


RN 313356-71-7 CAPLUS
CN Methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-

yl)butyl]-1,1,1-trifluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

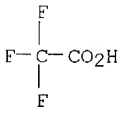
CM 1

CRN 313356-70-6
CMF C19 H24 F3 N5 O2 S



CM 2

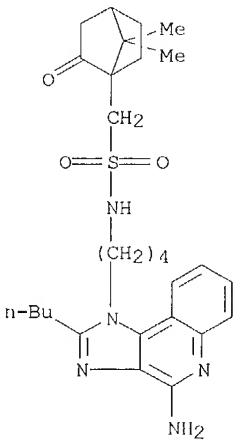
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-11-8 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-7,7-dimethyl-2-oxo-, (1S,4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

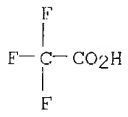
CM 1

CRN 313357-10-7
CMF C28 H39 N5 O3 S



CM 2

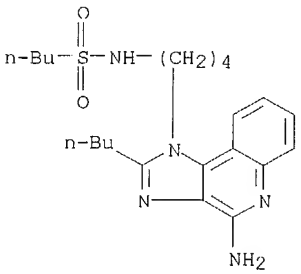
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-13-0 CAPLUS
CN 1-Butanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

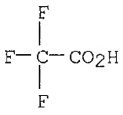
CM 1

CRN 313357-12-9
CMF C22 H33 N5 O2 S



CM 2

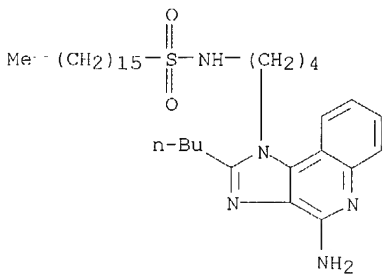
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-15-2 CAPLUS
CN 1-Hexadecanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

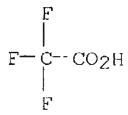
CM 1

CRN 313357-14-1
CMF C34 H57 N5 O2 S



CM 2

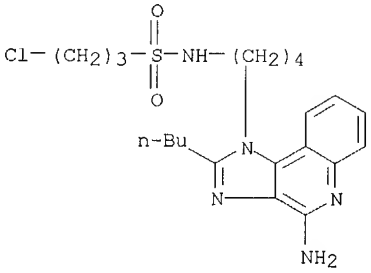
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-29-8 CAPLUS
CN 1-Propanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-3-chloro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

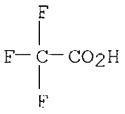
CM 1

CRN 313357-28-7
CMF C21 H30 Cl N5 O2 S



CM 2

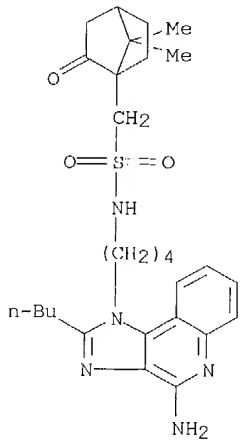
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-43-6 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyl]-7,7-dimethyl-2-oxo-, (1R,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

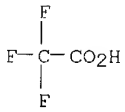
CM 1

CRN 313357-42-5
CMF C28 H39 N5 O3 S

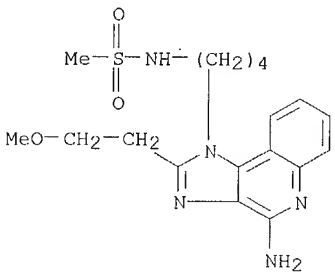


CM 2

CRN 76-05-1
CMF C2 H F3 O2



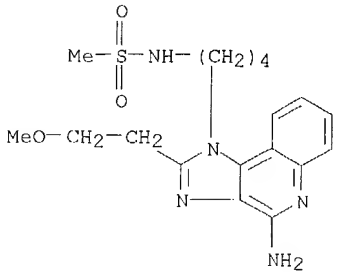
RN 313357-84-5 CAPLUS
CN Methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (9CI) (CA INDEX NAME)



RN 313357-85-6 CAPLUS
CN Methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

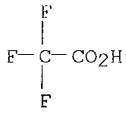
CM 1

CRN 313357-84-5
CMF C18 H25 N5 O3 S

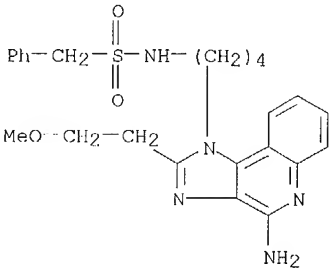


CM 2

CRN 76-05-1
CMF C2 H F3 O2



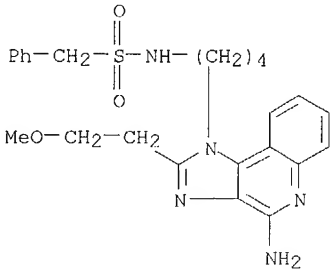
RN 313357-89-0 CAPLUS
CN Benzenemethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]- (9CI) (CA INDEX NAME)



RN 313357-90-3 CAPLUS
CN Benzenemethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

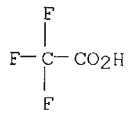
CM 1

CRN 313357-89-0
CMF C24 H29 N5 O3 S



CM 2

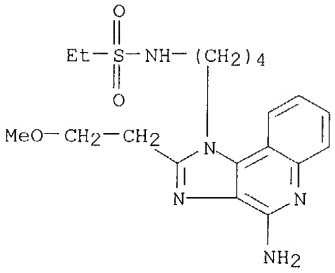
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-95-8 CAPLUS
CN Ethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

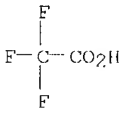
CM 1

CRN 313357-94-7
CMF C19 H27 N5 O3 S



CM 2

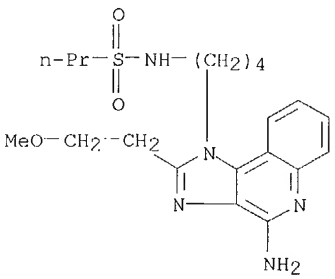
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-97-0 CAPLUS
CN 1-Propanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

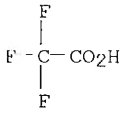
CM 1

CRN 313357-96-9
CMF C20 H29 N5 O3 S



CM 2

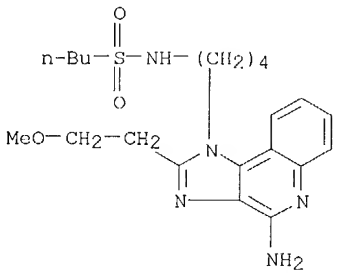
CRN 76-05-1
CMF C2 H F3 O2



RN 313357-99-2 CAPLUS
CN 1-Butanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

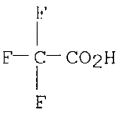
CM 1

CRN 313357-98-1
CMF C21 H31 N5 O3 S



CM 2

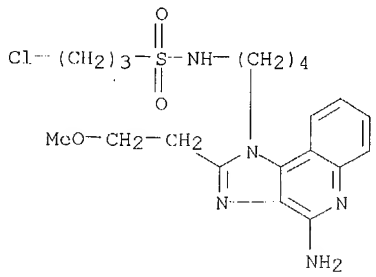
CRN 76-05-1
CMF C2 H F3 O2



RN 313358-02-0 CAPLUS
CN 1-Propanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-3-chloro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

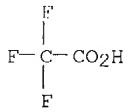
CM 1

CRN 313358-01-9
CMF C20 H28 Cl N5 O3 S



CM 2

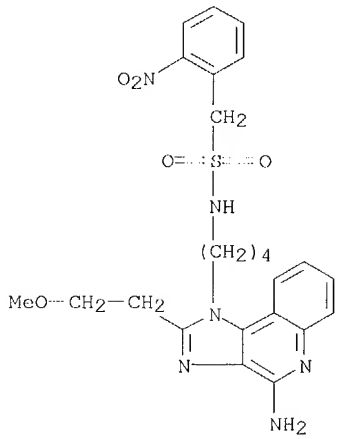
CRN 76-05-1
CMF C2 H F3 O2



RN 313358-51-9 CAPLUS
CN Benzenemethanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-2-nitro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

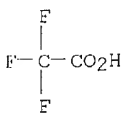
CM 1

CRN 313358-50-8
CMF C24 H28 N6 O5 S



CM 2

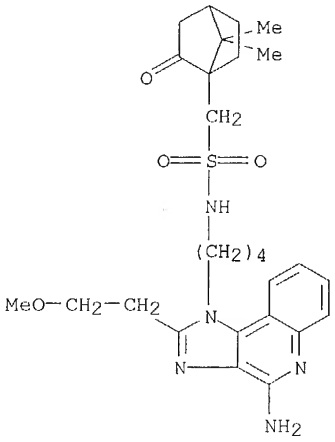
CRN 76-05-1
CMF C2 H F3 O2



RN 313358-74-6 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-7,7-dimethyl-2-oxo-, (1S,4R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

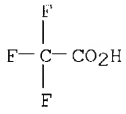
CM 1

CRN 313358-73-5
CMF C27 H37 N5 O4 S



CM 2

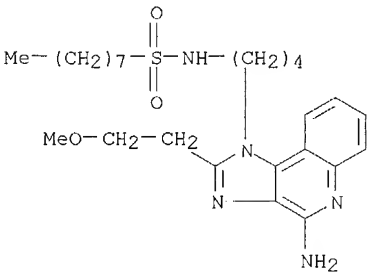
CRN 76-05-1
CMF C2 H F3 O2



RN 313359-06-7 CAPLUS
CN 1-Octanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

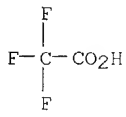
CM 1

CRN 313359-05-6
CMF C25 H39 N5 O3 S



CM 2

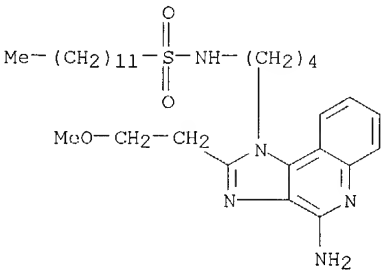
CRN 76-05-1
CMF C2 H F3 O2



RN 313359-52-3 CAPLUS
CN 1-Dodecanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

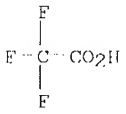
CM 1

CRN 313359-51-2
CMF C29 H47 N5 O3 S



CM 2

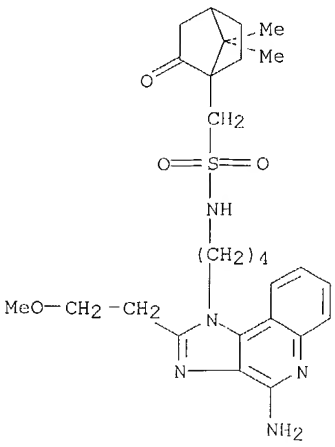
CRN 76-05-1
CMF C2 H F3 O2



RN 313359-76-1 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[4-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]butyl]-7,7-dimethyl-2-oxo-, (1R,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

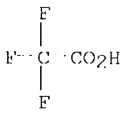
CM 1

CRN 313359-75-0
CMF C27 H37 N5 O4 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

10669051

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     FILE 'REGISTRY' ENTERED AT 15:29:22 ON 14 APR 2004
L1              STRUCTURE UPLOADED
L2            1 S L1
L3           15 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:32:38 ON 14 APR 2004
L4            3 S L3

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L1 HAS NO ANSWERS
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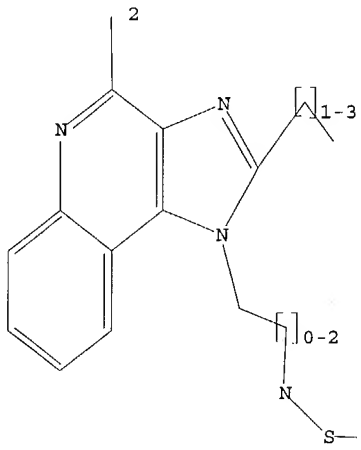


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G1 C,O


Structure attributes must be viewed using STN Express query preparation.


=> d 1-3 bib abs hitstr

L4   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:33981  CAPLUS
DN   140:94043
TI   Preparation of imidazoquinolinesulfonamides as inducers of cytokine
     biosynthesis.
IN   Griesgraber, George W.
PA   3M Innovative Properties Company, USA
SO   U.S., 86 pp., Cont. of U.S. Ser. No. 27,273, abandoned.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
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PI   US 6677349        B1   20040113      US 2003-425054   20030428
PRAI US 2001-27273     B1   20011221
OS   MARPAT 140:94043
GI
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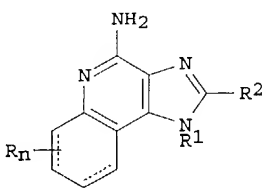


I

10669051

AB Title compds. [I; R1 = alkyl-NR3SO2XR4, alkenyl-NR3SO2XR4; X = bond, R5; R4 = (substituted) aryl, heteroaryl, heterocyclyl, alkyl, alkenyl; R2 = H, (substituted) alkyl, alkenyl, aryl, heteroaryl, alkyl-O-alkyl, alkyl-O-alkenyl; R3 = H, alkyl; R5 = H, alkyl; R4R5 = atoms to form a 3-7 membered (substituted) heterocyclyl; n = 0-4; R = alkyl, alkoxy, halo, CF3], were prepared Thus, a stirred solution of 4-chloro-3-nitroquinoline in CH2Cl2 was treated with Et3N and 1,2-diamino-2-methylpropane to give 2-methyl-N1-(3-nitroquinolin-4-yl)propane-1,2-diamine. A solution of the latter in THF was cooled to 0° and treated with a 1 N NaOH solution of di-tert-Bu dicarbonate under rapid stirring followed by warming to ambient temperature and stirring overnight; addnl. di-tert-Bu dicarbonate was added and stirring was continued for 3 d. to give tert-Bu 1,1-dimethyl-2-[(3-nitroquinolin-4-yl)amino]ethylcarbamate. This in PhMe was treated with Pt/C and shaken under H2 for 6 h to give tert-Bu 2-(3-aminoquinolin-4-yl)-1,1-dimethylethylcarbamate. The aminoquinoline in CH2Cl2 was cooled to 0° and treated with Et3N and ethoxyacetyl chloride to give a syrup which was refluxed overnight with Et3N in EtOH to give tert-Bu 2-[2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate. The imidazoquinoline in CH2Cl2 was treated with 3-chloroperoxybenzoic acid and stirred 2 h to give tert-Bu 2-[2-(ethoxymethyl)-5-oxido-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate. The latter in 1,2-dichloroethane was heated to 70° and treated with concentrated NH4OH; p-toluenesulfonyl chloride was added and the reaction mixture was heated in a sealed tube for 2 h to give tert-Bu 2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethylcarbamate . This was refluxed in EtOH containing HCl for 2 h to give 1-(2-amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4-amine. 1-(2-Amino-2-methylpropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-4-amine in CH2Cl2 at 0° was treated with Et3N and MeSO2Cl and the reaction was allowed to warm to ambient temperature overnight to give N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]methanesulfonamide (claimed compound). I induced interferon in an in vitro human blood cell system at lowest effective concns. of 0.0001-10 μM.

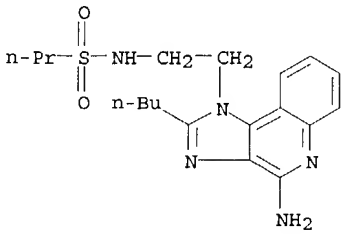
IT **313356-03-5P 313356-05-7P 313356-25-1P 313356-27-3P 313356-36-4P 313356-37-5P 313356-39-7P 642473-42-5P 642473-54-9P 642473-58-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoquinolinesulfonamides as inducers of cytokine biosynthesis)

RN 313356-03-5 CAPLUS

CN 1-Propanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

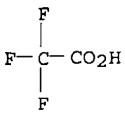
CM 1

CRN 313356-02-4
CMF C19 H27 N5 O2 S



CM 2

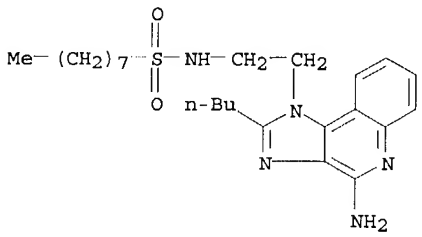
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-05-7 CAPLUS
CN 1-Octanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

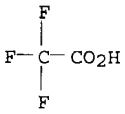
CM 1

CRN 313356-04-6
CMF C24 H37 N5 O2 S



CM 2

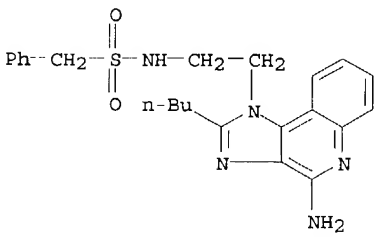
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-25-1 CAPLUS
CN Benzenemethanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

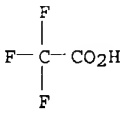
CM 1

CRN 313356-24-0
CMF C23 H27 N5 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



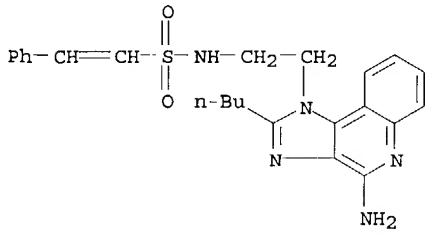
RN 313356-27-3 CAPLUS

10669051

CN Ethenesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

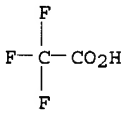
CM 1

CRN 313356-26-2
CMF C24 H27 N5 O2 S

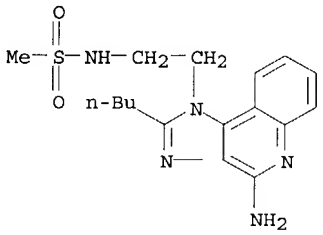


CM 2

CRN 76-05-1
CMF C2 H F3 O2



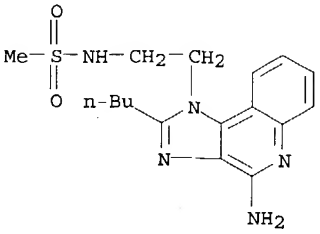
RN 313356-36-4 CAPLUS
CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 313356-37-5 CAPLUS
CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

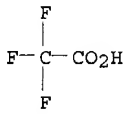
CM 1

CRN 313356-36-4
CMF C17 H23 N5 O2 S



CM 2

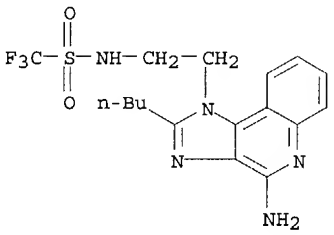
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-39-7 CAPLUS
CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-1,1,1-trifluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

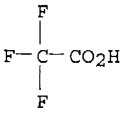
CM 1

CRN 313356-38-6
CMF C17 H20 F3 N5 O2 S

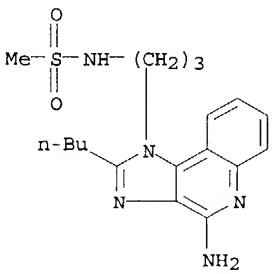


CM 2

CRN 76-05-1
CMF C2 H F3 O2

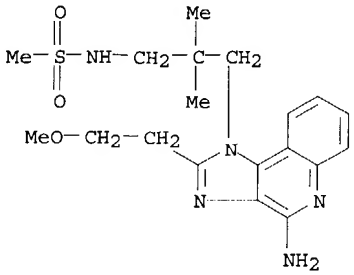


RN 642473-42-5 CAPLUS
CN Methanesulfonamide, N-[3-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)propyl]- (9CI) (CA INDEX NAME)

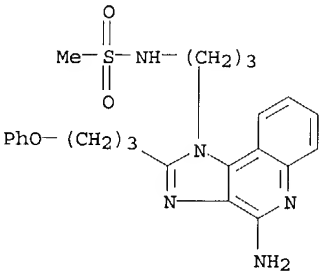


RN 642473-54-9 CAPLUS
CN Methanesulfonamide, N-[3-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

10669051



RN 642473-58-3 CAPLUS
CN Methanesulfonamide, N-[3-[4-amino-2-(3-phenoxypropyl)-1H-imidazo[4,5-c]quinolin-1-yl]propyl]- (9CI) (CA INDEX NAME)



RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:417574 CAPLUS
DN 139:929
TI Toll-like receptor (TLR) pathway-based methods for identification of immune response modifier (IRM) compounds, and methods of use of such compounds
IN Gorden, Keith B.; Qiu, Xiaohong; Tomai, Mark A.; Vasilakos, John P.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003043572	A2	20030530	WO 2002-US36758	20021114
	WO 2003043572	A3	20030724		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2004014779	A1	20040122	US 2002-294935	20021114
PRAI	US 2001-332412P	P	20011116		

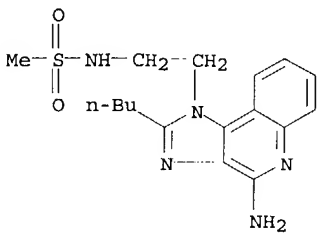
AB Methods for identifying a compound that activates a TLR-mediated cellular signaling pathway is disclosed. The method includes (a) exposing a TLR-pos. cell culture to a test compound and measuring a TLR-mediated cellular response; (b) exposing a TLR-neg. cell culture to a test compound and measuring a TLR-mediated cellular response; and (c) identifying the test compound as an IRM if the cellular response in the TLR-pos. cell culture is greater than the cellular response of the TLR-neg. cell culture. Methods of eliciting a TLR-mediated cellular response are also disclosed. Such methods include administration of an IRM compound to an IRM-responsive cell so that the IRM compds. affects at least one

TLR-mediate cellular signaling pathway.

IT **313356-36-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(toll-like receptor pathway-based methods for identification of immune response modifier compds., and methods of use of such compds.)

RN 313356-36-4 CAPLUS

CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:900462 CAPLUS

DN 134:56667

TI Preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers

IN Crooks, Stephen L.; Lindstrom, Kyle J.; Merrill, Bryon A.; Rice, Michael J.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076519	A1	20001221	WO 2000-US15722	20000608
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	US 6331539	B1	20011218	US 2000-589216	20000607
	BR 2000011433	A	20020305	BR 2000-11433	20000608
	EP 1198233	A1	20020424	EP 2000-938211	20000608
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	EE 200100669	A	20030217	EE 2001-669	20000608
	NZ 515967	A	20031031	NZ 2000-515967	20000608
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	HR 2001000890	A1	20030831	HR 2001-890	20011129
	US 2004029877	A1	20040212	US 2001-27272	20011221
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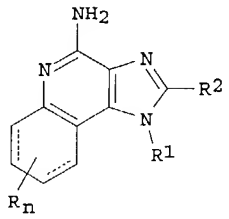
GI



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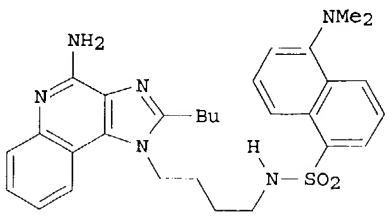


II

AB The title compds. [I; R1 = alkylNR3SO2XR4, alkenylNR3SO2XR4 (wherein X = a bond, NR5; R3 = H, alkyl; R4 = (un)substituted aryl, heteroaryl, alkyl, etc.; R5 = H, alkyl; R4 and R5 can combine to form 3-7 membered (un)substituted heterocyclic ring); R2 = H, alkyl, aryl, etc.; R = alkyl, alkoxy, halo, CF3; n = 0-4], useful as immune response modifiers, were prepared Thus, reacting 5-dimethylamino-1-naphthalenesulfonyl chloride with 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine in the presence of N,N-diisopropylethylamine in CH2Cl2 afforded the naphthalenesulfonamide II which induced interferon α and TNFα biosynthesis in human cells at 0.12 μM and 3.33 μM, resp. The compds. I can induce the biosynthesis of various cytokines such as interferon α and TNFα (data given), and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

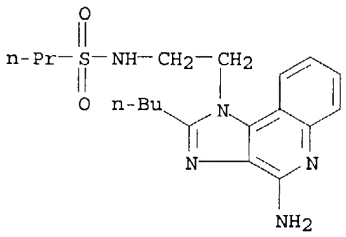
IT **313356-03-5P 313356-05-7P 313356-25-1P**
313356-27-3P 313356-37-5P 313356-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide and sulfamide substituted imidazoquinolines as immune response modifiers)

RN 313356-03-5 CAPLUS

CN 1-Propanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

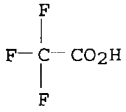
CM 1

CRN 313356-02-4
CMF C19 H27 N5 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



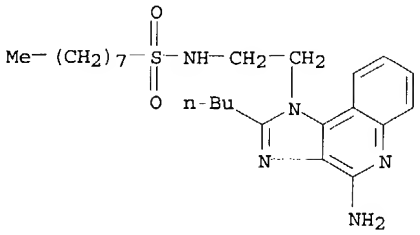
RN 313356-05-7 CAPLUS

CN 1-Octanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

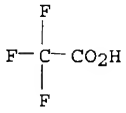
10669051

CRN 313356-04-6
CMF C24 H37 N5 O2 S



CM 2

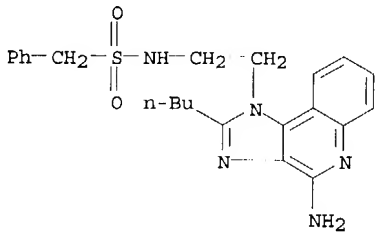
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-25-1 CAPLUS
CN Benzenemethanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

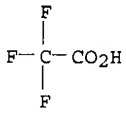
CM 1

CRN 313356-24-0
CMF C23 H27 N5 O2 S



CM 2

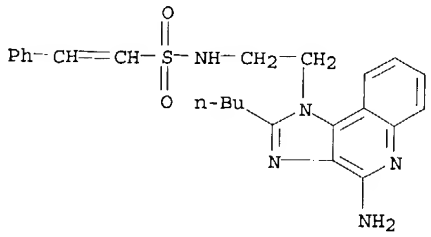
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-27-3 CAPLUS
CN Ethenesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-2-phenyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

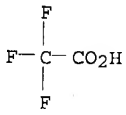
CM 1

CRN 313356-26-2
CMF C24 H27 N5 O2 S



CM 2

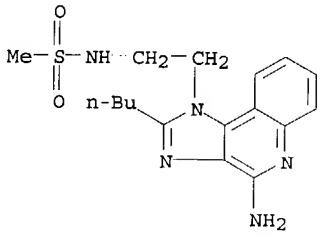
CRN 76-05-1
CMF C2 H F3 O2



RN 313356-37-5 CAPLUS
CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

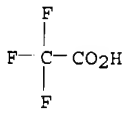
CM 1

CRN 313356-36-4
CMF C17 H23 N5 O2 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

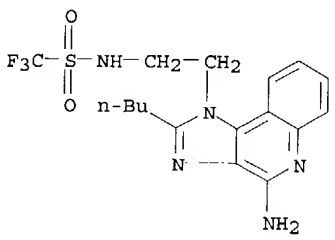


RN 313356-39-7 CAPLUS
CN Methanesulfonamide, N-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]-1,1,1-trifluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

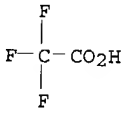
CRN 313356-38-6
CMF C17 H20 F3 N5 O2 S

10669051



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT